

acetate, and the reaction mixture was heated to reflux. The reaction mixture was cooled to yield a mixture of the  $\beta$ -aryl- $\beta$ -alanine and (in certain cases) a cinnamic acid derivative. The cinnamic acid (if present) was removed by acid/base extraction of the mixture to yield the  $\beta$ -aryl- $\beta$ -alanine, often in moderate to good yield. The process is depicted in Figure 3, and further details of experimental procedures for the synthesis of certain  $\beta$ -aryl- $\beta$ -alanine compounds are provided *infra*. A representative purification scheme for purifying the compounds is shown in Figure 4. Certain compounds prepared as described herein are set forth in Table 1, *infra*. Yield data are presented in two columns, the second being identical to that in Table 2, *infra*.

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At page 50, replace Table 1 with the following Table:

Table 1.  $\beta$ -aryl- $\beta$ -alanines prepared from benzaldehydes.

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Compound RCH(NH <sub>2</sub> )CH <sub>2</sub> COOH	Yield (%)	Yield (%) (from Table 2)
R =		
4-Fluorophenyl	68.5%	61.5%
4-Phenoxyphenyl	39.7%	68.1%
3-(4-methylphenoxy)phenyl	56.4%	56.4%
3-Methyl-4-methoxyphenyl	52.7%	52.7%
3-(3,4-dichlorophenoxy)phenyl	32.6%	42.6%
2-Methylphenyl	19.0%	19.0%
3-(4-chlorophenoxy)phenyl	23.2%	33.2%
2,5-Dimethyl-4-methoxyphenyl	12.6%	22.6%
4-Trifluoromethoxyphenyl	15.2%	46.2%
2-Chlorophenyl	21.7%	27.7%
2-Fluoro-3-trifluoromethylphenyl	5.5%	15.5%
3-Bromo-4-methoxyphenyl	23.8%	43.8%
4-Bromophenyl	34.2%	69.2%
Phenyl	61.1%	67.1%
4-Methylphenyl	51%	51.0%
4-Chlorophenyl	12%	65.0%
4-Acetamidophenyl	23%	23.0%
2,5-Dimethoxyphenyl	22%	22.0%
4-Diethylaminophenyl		
3-Methylphenyl	45.4%	45.8%
2-Hydroxy-3-methoxyphenyl	11%	17.2%
4-Phenylphenyl	40.2%	40.2%
3,4-Dibenzyloxyphenyl	36.2%	36.2%
3-[(3-Trifluoromethyl)phenoxy]phenyl	29.7%	39.7%

At page 52, replace the paragraph starting at line 23 with the following paragraph:

Additional compounds as synthesized generally in accordance with the previous paragraphs, and analytical data therefor are provided below in Table 2.

At page 69, replace the paragraph starting at line 31 with the following paragraph:

The compounds of the invention listed in Tables 2 and 3, *supra*, were tested for biological activity per Example 6. The following compounds were found to have at least weak activity:  $\beta$ -p-methylphenyl- $\beta$ -alanine hydrochloride,  $\beta$ -2-hydroxy-3-methoxyphenyl- $\beta$ -alanine,  $\beta$ -3-methyl-4-methoxyphenyl- $\beta$ -alanine (slight),  $\beta$ -3-(3,4-dichlorophenoxy)phenyl- $\beta$ -alanine hydrochloride (moderate),  $\beta$ -2,5-dimethyl-4-methoxyphenyl- $\beta$ -alanine,  $\beta$ -p-(trifluoromethoxy)phenyl- $\beta$ -alanine, and  $\beta$ -2-fluoro-3-(trifluoromethyl)phenyl- $\beta$ -alanine (moderate).

*At page 70, replace the paragraph starting at line 22 with the following paragraph:*

### Example 6

Selected compounds were dissolved in 0.9% NaCl or suspended in a mixture of 30% polyethylene glycol 400 and 70% water, and tested in an animal model. Briefly, the compounds were administered intraperitoneally or orally to carsworth Farms #1 mice (in a volume of 0.01 ml/g of body weight) or Sprague-Dawley rats (in a volume of 0.004 ml/g body weight). Times on peak effect and peak neurologic deficit were determined before the anticonvulsant tests were administered.

*At page 71, replace the paragraph starting at line 11 with the following paragraph:*

### Example 7

Testing of the dioxapiperazine compounds was performed in 12 mice at doses of 30, 100, 300 mg/kg (4 mice each) 30 minutes and four hours after the test compounds was administered. The results are shown in Table 4.

*Pursuant to 37 CFR 1.121(b)(1)(iii), a marked up version of the amended text showing the changes made appears herein as Appendix A.*